

**ABSTRACT OF THE INVENTION**

The invention provides methods for generating a library of bi-ligands, comprising (a) determining a common ligand to a conserved site in a receptor family;

5 (b) attaching an expansion linker to the common ligand, wherein the expansion linker has sufficient length and orientation to direct a second ligand to a specificity site of a receptor in the receptor family, to form a module; and (c) generating a population of bi-ligands

10 comprising a plurality of identical modules attached to variable second ligands. The invention also provides methods for identifying a bi-target ligand to a receptor by combining a first bi-ligand to a first receptor in a receptor family and a second bi-ligand to a second

15 receptor in the receptor family. The invention additionally provides bi-ligands and bi-target ligands.